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NEWS 1 Web Page for STN Seminar Schedule - N. America  
NEWS 2 NOV 21 CAS patent coverage to include exemplified prophetic  
substances identified in English-, French-, German-,  
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NEWS 3 NOV 26 MARPAT enhanced with FSORT command  
NEWS 4 NOV 26 CHEMSAFE now available on STN Easy  
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NEWS 9 JAN 06 The retention policy for unread STNmail messages  
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NEWS 10 JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent  
Classification Data  
NEWS 11 FEB 02 Simultaneous left and right truncation (SLART) added  
for CERAB, COMPUAB, ELCOM, and SOLIDSTATE  
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING  
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE  
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced  
NEWS 15 FEB 11 WTEXTILES reloaded and enhanced  
NEWS 16 FEB 19 New patent-examiner citations in 300,000 CA/CAPLUS  
patent records provide insights into related prior  
art  
NEWS 17 FEB 19 Increase the precision of your patent queries -- use  
terms from the IPC Thesaurus, Version 2009.01  
NEWS 18 FEB 23 Several formats for image display and print options  
discontinued in USPATFULL and USPAT2  
NEWS 19 FEB 23 MEDLINE now offers more precise author group fields  
and 2009 MeSH terms  
NEWS 20 FEB 23 TOXCENTER updates mirror those of MEDLINE - more  
precise author group fields and 2009 MeSH terms  
NEWS 21 FEB 23 Three million new patent records blast AEROSPACE into  
STN patent clusters  
NEWS 22 FEB 25 USGENE enhanced with patent family and legal status  
display data from INPADOCDB  
NEWS 23 MAR 06 INPADOCDB and INPAFAMDB enhanced with new display  
formats

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
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\*\*\*\*\* STN Columbus \*\*\*\*\*

FILE 'HOME' ENTERED AT 13:24:59 ON 08 MAR 2009

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 13:25:07 ON 08 MAR 2009  
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STRUCTURE FILE UPDATES: 6 MAR 2009 HIGHEST RN 1116745-20-0  
DICTIONARY FILE UPDATES: 6 MAR 2009 HIGHEST RN 1116745-20-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

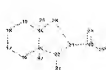
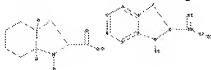
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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=>  
Uploading C:\Program Files\STNEXP\Queries\10599918 hydrogenation of I.str



chain nodes :  
10 11 12 13 23 24 25 26 27 31 32 33  
ring nodes :  
1 2 3 4 5 6 7 8 9 14 15 16 17 18 19 20 21 22

chain bonds :  
 8-10 9-13 10-11 10-12 12-32 14-26 15-27 21-23 22-31 23-24 23-25 25-33

ring bonds :  
 1-2 1-6 1-7 2-3 2-9 3-4 4-5 5-6 7-8 8-9 14-15 14-19 14-20 15-16 15-22  
 16-17 17-18 18-19 20-21 21-22

exact/norm bonds :  
 2-9 8-9 15-22 21-22

exact bonds :  
 1-7 7-8 8-10 9-13 12-32 14-15 14-19 14-20 14-26 15-16 15-27 16-17 17-18  
 18-19 20-21 21-23 22-31 25-33

normalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-12 23-24 23-25

isolated ring systems :  
 containing 1 : 14 :

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 21:Atom  
 22:Atom 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 31:CLASS 32:CLASS  
 33:CLASS

fragments assigned product role:  
 containing 14

fragments assigned reactant/reagent role:  
 containing 1

L1 STRUCTURE UPLOADED

=> d L1  
 L1 HAS NO ANSWERS  
 L1 STR  
 \*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> file casreact		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.48	0.70

FILE 'CASREACT' ENTERED AT 13:25:29 ON 08 MAR 2009  
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FILE CONTENT:1840 - 2 Mar 2009 VOL 150 ISS 10

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\* \*  
\* CASREACT now has more than 16.5 million reactions \*  
\* \*  
\*\*\*\*\*

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=> s L1 sSS full
FULL SEARCH INITIATED 13:25:35 FILE 'CASREACT'
SCREENING COMPLETE -      118 REACTIONS TO VERIFY FROM      20 DOCUMENTS

100.0% DONE      118 VERIFIED      10 HIT RXNS      6 DOCS
SEARCH TIME: 00.00.01

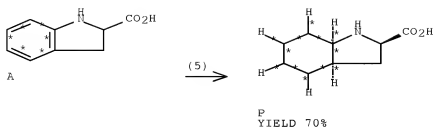
L2      6 SEA SSS FUL L1 (      10 REACTIONS)

=> d ibib abs fh1t 1-
YOU HAVE REQUESTED DATA FROM 6 ANSWERS - CONTINUE? Y/(N):y
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```
L2  ANSWER 1 OF 6  CASREACT  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:      149:402630  CASREACT  Full-text
TITLE:      Efficient access to enantiomerically pure cyclic
              $\alpha$ -amino esters through a lipase-catalyzed
             kinetic resolution
AUTHOR(S):      Alatorre-Santamaria, Sergio; Rodriguez-Mata, Maria;
             Gotor-Fernandez, Vicente; de Mattos, Marcos Carlos;
             Sayago, Francisco J.; Jimenez, Ana I.; Cativiela,
             Carlos; Gotor, Vicente
CORPORATE SOURCE:      Departamento de Quimica Organica e Inorganica,
             Instituto Universitario de Biotecnologia de Asturias,
             Universidad de Oviedo, Oviedo (Asturias), 33071, Spain
SOURCE:      Tetrahedron: Asymmetry (2008), 19(14), 1714-1719
             CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER:      Elsevier Ltd.
DOCUMENT TYPE:      Journal
LANGUAGE:      English
```

AB A series of  $\alpha$ -amino acid derivs. containing the 2,3-dihydroindole or octahydroindole core have been chemoenzymically synthesized in good overall yields and high enantiomeric purity under mild reaction conditions using lipases for the introduction of chirality. Candida antarctica lipase type A has shown excellent activity and high enantiodiscrimination ability toward the two cyclic amino esters used as substrates. The selectivity of the process proved to be greatly dependent on the alkoxycarbonylating agent. Thus, the enzymic kinetic resolution of Me indoline-2-carboxylate has been successfully achieved using 3-methoxyphenyl allyl carbonate, whereas (2R,3aR,7aR)-benzyl octahydroindole-2-carboxylate required the less reactive diallyl carbonate.

RX(5) OF 32      A ==> P...



RX(5)      RCT    A 78348-24-0  
             RGT    Q 1333-74-0 H2  
             PRO    P 80828-13-3  
             CAT    1314-15-4 PtO2  
             SOL    64-19-7 AcOH  
             CON    60 deg C  
             NTE    stereoselective

REFERENCE COUNT:      47      THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2    ANSWER 2 OF 6    CASREACT    COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:      148:191805    CASREACT    Full-text

TITLE:      Versatile methodology for the synthesis and  $\alpha$ -functionalization of

AUTHOR(S):      (2R,3aS,7aS)-octahydroindole-2-carboxylic acid  
Sayago, Francisco J.; Isabel Calaza, M.; Jimenez, Ana I.; Cativiela, Carlos

CORPORATE SOURCE:      Departamento de Quimica Organica, Instituto de Ciencia de Materiales de Aragon-CSIC, Universidad de Zaragoza, Zaragoza, 50009, Spain

SOURCE:      Tetrahedron (2007), Volume Date 2008, 64(1), 84-91  
CODEN: TETRAB; ISSN: 0040-4020

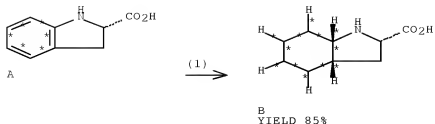
PUBLISHER:      Elsevier Ltd.

DOCUMENT TYPE:      Journal

LANGUAGE:      English

AB    An improved strategy for the effective synthesis of enantiomerically pure (2R,3aS,7aS)-octahydroindole-2-carboxylic acid, based on the formation of a trichloromethylloxazolidinone derivative, has been developed. Addnl., the completely diastereoselective  $\alpha$ -alkylation of such oxazolidinone provides a very convenient and concise route to enantiopure  $\alpha$ -tetrasubstituted derivs. of this stereoisomer of octahydroindole-2-carboxylic acid.

RX(1) OF 21      A ==> B...



RX(1) RCT A 79815-20-6  
 RGT C 1333-74-0 H2  
 PRO B 60875-98-5  
 CAT 1314-15-4 PtO2  
 SOL 64-19-7 AcOH  
 CON 24 hours, 60 deg C  
 NTE stereoselective

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 3 OF 6 CASREACT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 148:79277 CASREACT [Full-text](#)

TITLE: Efficient access to N-protected derivatives of  
 (R,R,R)- and (S,S,S)-octahydroindole-2-carboxylic acid  
 by HPLC resolution

AUTHOR(S): Sayago, Francisco J.; Jimenez, Ana I.; Cativiela,  
 Carlos

CORPORATE SOURCE: Departamento de Quimica Organica, Instituto de Ciencia  
 de Materiales de Aragon, Universidad de Zaragoza-CSIC,  
 Zaragoza, 50009, Spain

SOURCE: Tetrahedron: Asymmetry (2007), 18(19), 2358-2364  
 CODEN: TASYE3; ISSN: 0957-4166

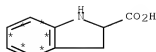
PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

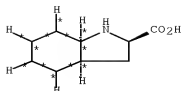
LANGUAGE: English

AB The preparation of the proline analog (2S,3aS,7aS)-octahydroindole-2-  
 carboxylic acid (Oic) and its enantiomer, (2R,3aR,7aR)-Oic, is described. A  
 racemic precursor has been synthesized in good yield and subjected to HPLC  
 resolution on a chiral column. The high efficiency of both the synthetic and  
 chromatog. procedures has allowed the isolation of multigram quantities of  
 each amino acid in enantiomerically pure form and suitably protected for use  
 in peptide synthesis.

RX(1) OF 20 A ==> B...



A



B

YIELD 70%

RX(1) RCT A 76348-24-0  
 RGT C 64-19-7 AcOH, D 1333-74-0 H2  
 PRO B 60820-13-3  
 CAT 1314-15-4 PtO2  
 CON 24 hours, 60 deg C  
 NTE stereoselective

REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 4 OF 6 CASREACT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 145:397363 CASREACT [Full-text](#)

TITLE: Process for the synthesis of  
 (2S,3aS,7aS)-perhydroindole-2-carboxylic acid and its  
 esters, useful intermediates in the manufacture of  
 perindopril, via resolution of  
 2,3-dihydroindole-2-carboxylic acid alkyl esters and  
 catalytic hydrogenation of  
 (2S)-2,3-dihydroindole-2-carboxylic acid

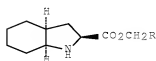
INVENTOR(S): Le, Goffic Francois  
 PATENT ASSIGNEE(S): Laboratoire Substipharm, Fr.  
 SOURCE: Fr. Demande, 20pp.  
 CODEN: FRXXBL

DOCUMENT TYPE: Patent  
 LANGUAGE: French

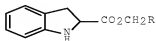
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2883874	A1	20061006	FR 2005-3293	20050404
PRIORITY APPLN. INFO.:			FR 2005-3293	20050404
OTHER SOURCE(S):			MARPAT 145:397363	
GI				



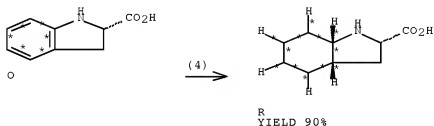
II



III

AB The invention is related to a process for preparation of (-)-(2S,3aS,7aS)-perhydroindole-2-carboxylic acid (I) and its esters II [R = H, alkyl], useful intermediates in the synthesis of perindopril, by (a) enzymic resolution of rac-III [R1 = (un)substituted H, alk(en)yl] by protease-catalyzed hydrolysis to isolate the ester (S)-III and (2R)-2,3-dihydroindole-2-carboxylic acid; (b) saponification or hydrolysis of the ester (S)-III to give (2S)-2,3-dihydroindole-2-carboxylic acid (IV); (c) catalytic hydrogenation of acid IV to give I; (d) isolation of acid I; (e) optionally, esterification of I to give esters of formula II; and (f) isolation of esters II. Advantages include selective preparation of diastereomer acid I in good yield and excellent purity, and simple purification. Thus, acid I was prepared, in > 99% enantiomeric purity, via subtilisin-catalyzed resolution of a mixture of Me 2,3-dihydroindole-2-carboxylate and Et 2,3-dihydroindole-2-carboxylate and hydrogenation of acid IV over Rh/C.

RX(4) OF 10 ...O ==> P



RX(4) RCT O 79815-20-6  
RGT S 1333-74-0 H2  
PRO R 80875-98-5  
CAT 7440-16-6 Rh  
SOL 67-56-1 MeOH, 7732-18-5 Water  
CON 24 hours, 60 deg C, 30 bar  
NTE stereoselective

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 5 OF 6 CASREACT COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 143:367597 CASREACT [Full-text](#)  
TITLE: Process for the preparation of perindopril  
INVENTOR(S): Kankan, Rajendra Narayanrao; Rao, Dharmaraj Ramachandra  
PATENT ASSIGNEE(S): Neopharma Limited, UK  
SOURCE: Brit. UK Pat. Appl., 21 pp.  
CODEN: BAXXDU  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

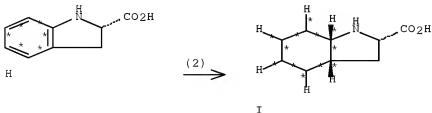


PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2413128	A	20051019	GB 2004-8258	20040413
AU 2005232938	A1	20051027	AU 2005-232938	20050407
CA 2562843	A1	20051027	CA 2005-2562843	20050407
WO 2005100317	A1	20051027	WO 2005-GB1355	20050407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1751107	A1	20070214	EP 2005-732439	20050407
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JP 2007532616	T	20071115	JP 2007-507836	20050407
IN 2006DN06462	A	20070831	IN 2006-DN6462	20061101
KR 2007054142	A	20070528	KR 2006-723684	20061113
US 20070185335	A1	20070809	US 2007-599918	20070409
PRIORITY APPLN. INFO.:			GB 2004-8258	20040413
			WO 2005-GB1355	20050407

OTHER SOURCE(S): MARPAT 143:367597

AB A process for preparing perindopril or a pharmaceutically-acceptable salt comprises coupling a 4-halo-, 4-alkoxy- or 4-nitrobenzyl ester of (2S,3aS,7aS)-2-carboxyoctahydroindole with N-[(S)-1-carbethoxybutyl]-L-alanine (1) in the presence of DCC and HOBT, followed by catalytic hydrolysis. The starting ester was obtained from (S)-indoline-2-carboxylic acid by hydrogenation-esterification and 1 was obtained from norvaline Et ester and pyruvic acid under catalytic hydrogenation conditions. The method was applied to the synthesis perindopril erbumine (20.5 g obtained from 24 g 4-chlorobenzyl ester and 21.26 g 1).

RX(2) OF 10 R ==> I...



RX(2) RCT H 75815-20-6

## STAGE(1)

RGT D 1310-73-2 NaOH, E 1333-74-0 H2  
 CAT 7440-16-6 Rh  
 SOL 7732-18-5 Water  
 CON SUBSTAGE(1) 50 deg C, 12 atm  
 SUBSTAGE(2) 15 - 20 deg C

## STAGE(2)

RGT J 7647-01-0 HCl  
 SOL 7732-18-5 Water  
 CON 15 - 20 deg C, pH 3.0 - 3.2

PRO I 80875-98-5

NTE stereoselective, autoclave used, catalyst on alumina

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 6 OF 6 CASREACT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 111:77846 CASREACT Full-text

TITLE: Industrial preparation of  
 (2S,3aS,7aS)-perhydroindole-2-carboxylic acid as  
 intermediate for antihypertensive perindopril  
 INVENTOR(S): Vincent, Michel; Balliarda, Jean; Marchand, Bernard;  
 Remond, Georges

PATENT ASSIGNEE(S): ADIR, Fr.

SOURCE: Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

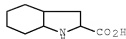
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EP 308339	B1	19920506		
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FR 2620703	A1	19890324	FR 1987-12900	19870917
FR 2620703	B1	19911004		
DK 8805149	A	19890318	DK 1988-5149	19880915
AU 8822361	A	19890323	AU 1988-22361	19880916
AU 618752	B2	19920109		
ZA 8806931	A	19890530	ZA 1988-6931	19880916
US 4935525	A	19900619	US 1988-245352	19880916
JP 02191251	A	19900727	JP 1988-232123	19880916
AT 75735	T	19920515	AT 1988-402337	19880916
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PRIORITY APPLN. INFO.:

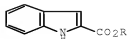
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OTHER SOURCE(S): MARPAT 111:77846

GI



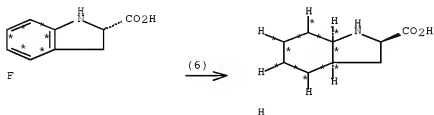
I



II

AB The title compound (I), useful as an intermediate for antihypertensive perindopril, was prepared from indolecarboxylic acid derivs. II (R = H, lower alkyl). Esterification of II (R = H) in EtOH containing H<sub>2</sub>SO<sub>4</sub>, reduction with Sn in EtOH containing HCl, saponification, and resolution gave (S)-indoline-2-carboxylic acid (III). Hydrogenation of III over Rh under H<sub>2</sub> at 60° gave (2S,3aS,7aS)-octahydroindole-2-carboxylic acid.

RX(6) OF 27 ...F ==> H...



RX(6) RCT F 79815-20-6  
PRO H 89826-13-3

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

STN INTERNATIONAL LOGOFF AT 13:26:36 ON 08 MAR 2009